

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
15 April 2004 (15.04.2004)

PCT

(10) International Publication Number  
WO 2004/031193 A1

(51) International Patent Classification<sup>7</sup>: C07D 495/04,  
333/36 // (C07D 495/04, 333:00, 209:00)

(21) International Application Number:  
PCT/GB2003/004211

(22) International Filing Date:  
29 September 2003 (29.09.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0222909.4 3 October 2002 (03.10.2002) GB

Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). STOCKER, Andrew [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(74) Agent: ASTRAZENECA; Global Intellectual Property, P O Box 272, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4GR (GB).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CY, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GM, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, SZ, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW only*): ASTRAZENECA AB [SE/SE]; Sodertalje, S-151 85 (SE).

(71) Applicant (*for MG only*): ASTRAZENECA UK LIMITED [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): BUTTERS, Michael [GB/GB]; AstraZeneca, Avlon Works, Severn Road, Hallen, Bristol, Avon BS10 7ZE (GB). SCHOFIELD, Paul [GB/GB]; AstraZeneca R & D

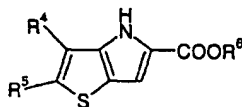
Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

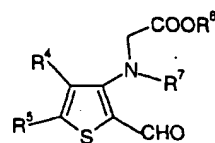
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/031193 A1

(54) Title: PROCESS AND INTERMEDIATES FOR THE PREPARATION OF THIENOPYRROLE DERIVATIVES



(I)



(II)

(57) **Abstract:** Novel Process and Intermediates. A process for preparing a compound of formula (I) where R<sup>4</sup> and R<sup>5</sup> are as defined in the specification; and R<sup>6</sup> is hydrogen or a protecting group, which process comprises cyclisation of a compound of formula (II) where R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined in relation to formula (I), and R<sup>7</sup> is a nitrogen protecting group, and removing the group R<sup>7</sup>, and thereafter if desired, removing any protecting group R<sup>6</sup>. Novel intermediates and the use of these in the formation of pharmaceutical compounds is also described and claimed.